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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:38:31 ON 10 JAN 2005

```
=> e citalopram A/cn
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
The EXPAND command is used to look at the index in a file
which has an index.  This file does not have an index.

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.42       0.42

FILE 'REGISTRY' ENTERED AT 13:39:28 ON 10 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    7 JAN 2005  HIGHEST RN 810025-80-0
DICTIONARY FILE UPDATES:   7 JAN 2005  HIGHEST RN 810025-80-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> e citalopram A/cn
E1            1     CITALDOXIME/CN
E2            1     CITALOPRAM/CN
E3            0 --> CITALOPRAM A/CN
E4            1     CITALOPRAM ACETATE/CN
E5            1     CITALOPRAM HYDROBROMIDE/CN
E6            1     CITALOPRAM HYDROCHLORIDE/CN
E7            1     CITALOPRAM OXALATE/CN
E8            1     CITANEST/CN
E9            1     CITANEST HYDROCHLORIDE/CN
E10           1     CITANEST PLAIN/CN
E11           1     CITANEST-EPINEPHRINE MIXT./CN
E12           1     CITANEST-OCTAPRESSIN MIXT./CN

=> s e2
L1            1 CITALOPRAM/CN

=> d scan

L1   1 ANSWERS   REGISTRY  COPYRIGHT 2005 ACS on STN
IN   5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-
     fluorophenyl)-1,3-dihydro- (9CI)
MF   C20 H21 F N2 O
CI   COM
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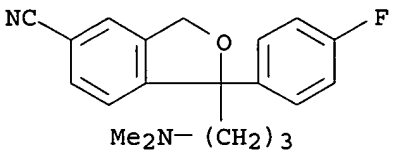

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1
L2 1 CITALOPRAM/CN

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	10.49	10.91

FILE 'CAPLUS' ENTERED AT 13:41:04 ON 10 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 10 Jan 2005 VOL 142 ISS 3
FILE LAST UPDATED: 9 Jan 2005 (20050109/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 1372 L2

=> s l3 and (process or prepar? or method or make or synthes?)
2036457 PROCESS
1351442 PROCESSES
3025128 PROCESS
(PROCESS OR PROCESSES)
1520731 PREPAR?
114026 PREP
2021 PREPS
115848 PREP
(PREP OR PREPS)
1906372 PREPD
21 PREPDS

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       1906387 PREPD
                 (PREPD OR PREPDS)
        105062 PREPG
            12 PREPGS
        105073 PREPG
                 (PREPG OR PREPGS)
       2536204 PREPN
        197710 PREPNS
       2686057 PREPN
                 (PREPN OR PREPNS)
       4455037 PREPAR?
                 (PREPAR? OR PREP OR PREPD OR PREPG OR PREPN)
       2769179 METHOD
       1149256 METHODS
       3594635 METHOD
                 (METHOD OR METHODS)
        202587 MAKE
        156116 MAKES
        348694 MAKE
                 (MAKE OR MAKES)
       1416791 SYNTHES?
L4         486 L3 AND (PROCESS OR PREPAR? OR METHOD OR MAKE OR SYNTHES?)

=> s l4 and 5-formylphthalide
       5779347 5
            11 FORMYLPHTHALIDE
             3 5-FORMYLPHTHALIDE
                 (5(W)FORMYLPHTHALIDE)
L5           3 L4 AND 5-FORMYLPHTHALIDE

=> s l4 and formylphthalide
            11 FORMYLPHTHALIDE
L6           4 L4 AND FORMYLPHTHALIDE

=> s l4 and hydroxylamine
         30294 HYDROXYLAMINE
          2708 HYDROXYLAMINES
         31371 HYDROXYLAMINE
                 (HYDROXYLAMINE OR HYDROXYLAMINES)
L7           7 L4 AND HYDROXYLAMINE

=> s l4 and oxime
         42346 OXIME
         14929 OXIMES
         46827 OXIME
                 (OXIME OR OXIMES)
L8           5 L4 AND OXIME

=> s l4 and fluorophenylmagnesium halide
           420 FLUOROPHENYLMAGNESIUM
        146504 HALIDE
        121739 HALIDES
        212421 HALIDE
                 (HALIDE OR HALIDES)
             4 FLUOROPHENYLMAGNESIUM HALIDE
                 (FLUOROPHENYLMAGNESIUM(W)HALIDE)
L9           0 L4 AND FLUOROPHENYLMAGNESIUM HALIDE

=> s l4 and 4-fluorophenylmagnesium halide
       5031434 4
```
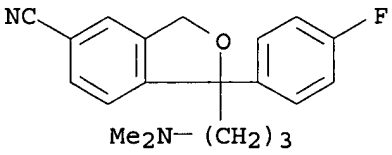

```
          420 FLUOROPHENYLMAGNESIUM
       146504 HALIDE
       121739 HALIDES
       212421 HALIDE
                (HALIDE OR HALIDES)
            2 4-FLUOROPHENYLMAGNESIUM HALIDE
                (4(W)FLUOROPHENYLMAGNESIUM(W)HALIDE)
L10         0 L4 AND 4-FLUOROPHENYLMAGNESIUM HALIDE

=> s l4 and grignard
        41951 GRIGNARD
          634 GRIGNARDS
        42113 GRIGNARD
                (GRIGNARD OR GRIGNARDS)
L11        13 L4 AND GRIGNARD

=> d his

     (FILE 'HOME' ENTERED AT 13:38:31 ON 10 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 13:39:28 ON 10 JAN 2005
                E CITALOPRAM A/CN
L1           1 S E2
L2           1 S L1

     FILE 'CAPLUS' ENTERED AT 13:41:04 ON 10 JAN 2005
L3        1372 S L2
L4         486 S L3 AND (PROCESS OR PREPAR? OR METHOD OR MAKE OR SYNTHES?)
L5           3 S L4 AND 5-FORMYLPHTHALIDE
L6           4 S L4 AND FORMYLPHTHALIDE
L7           7 S L4 AND HYDROXYLAMINE
L8           5 S L4 AND OXIME
L9           0 S L4 AND FLUOROPHENYLMAGNESIUM HALIDE
L10          0 S L4 AND 4-FLUOROPHENYLMAGNESIUM HALIDE
L11         13 S L4 AND GRIGNARD

=> dup rem l5 l6 l7 l8 l11
PROCESSING COMPLETED FOR L5
PROCESSING COMPLETED FOR L6
PROCESSING COMPLETED FOR L7
PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L11
L12          20 DUP REM L5 L6 L7 L8 L11 (12 DUPLICATES REMOVED)

=> d l12 ibib hitstr abs 1-20

L12  ANSWER 1 OF 20  CAPLUS  COPYRIGHT 2005 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2004:485809  CAPLUS
DOCUMENT NUMBER:          141:54186
TITLE:                    Preparation of citalopram via
                          (2,4-dimethylphenyl)(4-fluorophenyl)methanol
INVENTOR(S):              Ikemoto, Tetsuya; Gao, Wei-guo; Arai, Nobuhiro; Igi,
                          Masami
PATENT ASSIGNEE(S):       Sumika Fine Chemicals Co., Ltd., Japan
SOURCE:                   Eur. Pat. Appl., 34 pp.
                          CODEN: EPXXDW
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:
```

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1428813	A1	20040616	EP 2004-6759	20000906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6433196	B1	20020813	US 2000-654768	20000905
EP 1125907	A2	20010822	EP 2000-119222	20000906
EP 1125907	A3	20020502		
EP 1125907	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 271025	E	20040715	AT 2000-119222	20000906
AU 777193	B2	20041007	AU 2000-56560	20000907
CA 2318701	AA	20010817	CA 2000-2318701	20000913
US 2002062040	A1	20020523	US 2001-996134	20011128
US 6458975	B2	20021001		
US 2002095051	A1	20020718	US 2002-86076	20020228
US 2004138497	A1	20040715	US 2003-744734	20031223
US 2004230066	A1	20041118	US 2004-867350	20040614
PRIORITY APPLN. INFO.:			JP 2000-39936 A	20000217
			JP 2000-65527 A	20000309
			EP 2000-119222 A3	20000906
			JP 2000-245437 A	20000811
			US 2000-654768 A3	20000905
			US 2002-86076 A3	20020228

IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of citalopram via dimethylphenylfluorophenylmethanol)

RN 59729-33-8 CAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Citalopram intermediate (2,4-dimethylphenyl)(4-fluorophenyl)methanol (I) was **prepared** via Grignard reaction of 4-fluorophenylmagnesium bromide with 2,4-dimethylbenzaldehyde. Thus, Mg and cat. I2 in THF were treated dropwise with 4-bromofluorobenzene in THF followed by stirring for 2 h at 20-40°. The mixture was treated with 2,4-dimethylbenzaldehyde in THF at 0-20° followed by stirring for 2 h at 0-20° to give 100% I. I in H2O/Me3COH at 50-75° was treated with KMnO4 over 8 h followed by stirring at 70-85° for 3 h to give 75% 4-(4-fluorobenzoyl)isophthalic acid. The latter in THF was added to a mixture of NaBH4 in THF followed by heating to 55°, addition of Me2SO4, and reflux for 5 h to give a residue which was stirred 5 h with H3PO4 at 60° to give 86% 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-ylmethanol. This was stirred with MnO2 in xylene at 25-45° for 6 h followed by filtration and heating with NH2OH.HCl, Et3N, and Ac2O at 130-140° for 6 h to give 73% 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile. The latter in THF was added dropwise to NaH in THF at 40-50° followed by addition of Bu4NBr, 3-dimethylaminopropyl chloride in MeOCMe3, and 1,3-dimethyl-2-

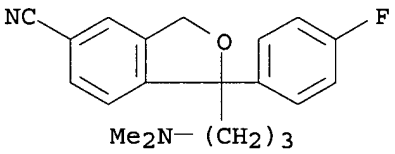
imidazolidinone followed by stirring of the mixture for 6 h at 61-64° to give 79.1% citalopram.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:331827 CAPLUS
DOCUMENT NUMBER: 140:357194
TITLE: **Process** for the manufacture of citalopram hydrobromide from 5-bromophthalide
INVENTOR(S): Chodankar, Nandkumar; Bhobe, Ajit; Oak, G. M.; Eappan, Philip
PATENT ASSIGNEE(S): Sekhsaria Chemicals Limited, India
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004077870	A1	20040422	US 2002-277451	20021022
US 6812355	B2	20041102		

PRIORITY APPLN. INFO.: US 2002-277451 20021022
OTHER SOURCE(S): CASREACT 140:357194; MARPAT 140:357194
IT **59729-33-8P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(manufacture of citalopram hydrobromide from 5-bromophthalide by two successive **Grignard** reactions on 5-bromophthalide using p-fluorobromobenzene and then N,N-dimethylaminopropylmagnesium chloride)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB Disclosed is a **process** for the **preparation** of 1-(4-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (citalopram) (known antidepressant) or a pharmaceutically acceptable salt thereof, comprising performing two successive **Grignard** reactions on 5-bromophthalide using p-fluorobromobenzene and then N,N-dimethylaminopropylmagnesium chloride, wherein the 5-bromophthalide is reacted with the first **Grignard** reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields.

L12 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2003:96296 CAPLUS
DOCUMENT NUMBER: 138:137159
TITLE: Hydrogenation **process** and catalysts for the **preparation** of 5-**formylphthalide** useful as an intermediate in

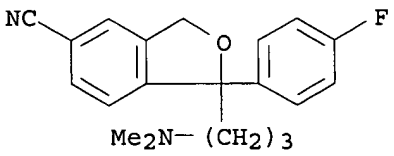
the manufacture of the antidepressant citalopram
INVENTOR(S): Dall'asta, Leone; Cotticelli, Giovanni
PATENT ASSIGNEE(S): Infosint SA, Switz.
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1281708	A1	20030205	EP 2001-830518	20010802
EP 1281708	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 267821	E	20040615	AT 2001-830518	20010802
WO 2003011847	A1	20030213	WO 2002-EP8551	20020729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2002011857	A	20040921	BR 2002-11857	20020729
US 2004225136	A1	20041111	US 2004-776626	20040131
PRIORITY APPLN. INFO.:			EP 2001-830518 A	20010802
			WO 2002-EP8551 W	20020729

OTHER SOURCE(S): CASREACT 138:137159

IT **59729-33-8P**, Citalopram
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(hydrogenation **process** and catalysts for the **preparation** of **5-formylphthalide** useful as an intermediate in the manufacture of the antidepressant citalopram)

RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB **5-Formylphthalide**, useful as an intermediate in the manufacture of the antidepressant citalopram, is **prepared** by hydrogenation of 5-(halocarbonyl)phthalide [e.g., 5-(chlorocarbonyl)phthalide], dissolved in a dipolar aprotic solvent (e.g., N,N-dimethylacetamide), in the presence of a hydrogenation catalyst (e.g., 5% Pd/BaSO4).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

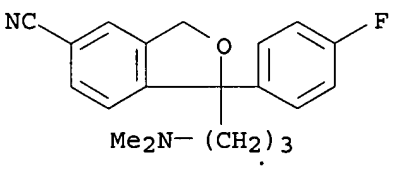
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2003:96293 CAPLUS
DOCUMENT NUMBER: 138:137156
TITLE: **Process** for the **preparation** of 5-substituted isobenzofurans including citalopram
INVENTOR(S): Dall'asta, Leone; Cotticelli, Giovanni
PATENT ASSIGNEE(S): Infosint SA, Switz.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

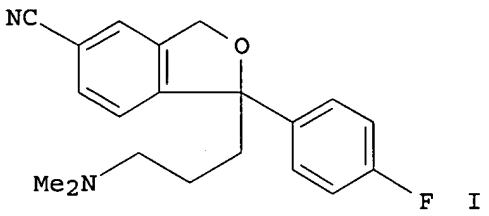
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1281707	A1	20030205	EP 2001-830517	20010802
EP 1281707	B1	20041229		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2003011846	A2	20030213	WO 2002-EP8550	20020729
WO 2003011846	A3	20031127		
WO 2003011846	B1	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2002011858	A	20040921	BR 2002-11858	20020729
US 2004230065	A1	20041118	US 2004-776625	20040131

PRIORITY APPLN. INFO.: EP 2001-830517 A 20010802
WO 2002-EP8550 W 20020729

OTHER SOURCE(S): CASREACT 138:137156; MARPAT 138:137156
IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**process** for **preparation** of 5-substituted isobenzofurans including citalopram)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



GI



AB There is described a **process** for the **preparation** of citalopram (shown as I) and of its pharmaceutically acceptable salts, which comprises treating a 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbaldoxime, O-substituted preferably with a diphenylmethyl or triphenylmethyl group, with formic-acetic anhydride. Furthermore, the total **synthesis** of citalopram, as free base or as its pharmaceutically acceptable salt, starting from **5-formylphthalide** is described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

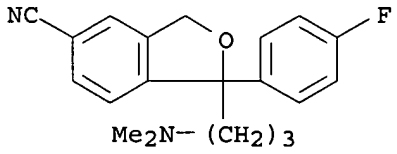
L12 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:172971 CAPLUS
DOCUMENT NUMBER: 138:221462
TITLE: Improved **process** for the manufacture of citalopram hydrobromide from 5-bromophthalide
PATENT ASSIGNEE(S): Sekhsaria Chemicals Ltd., India
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1288211	A1	20030305	EP 2002-255750	20020819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

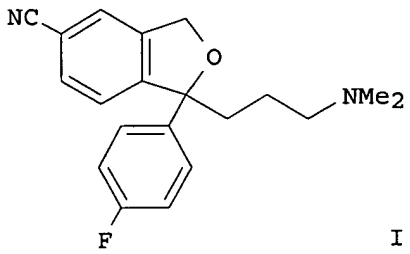
PRIORITY APPLN. INFO.: US 2001-315391P P 20010828
OTHER SOURCE(S): CASREACT 138:221462; MARPAT 138:221462

IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved **process** for the manufacture of citalopram hydrobromide from 5-bromophthalide)

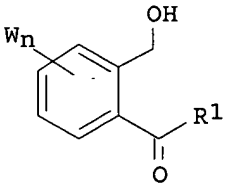
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



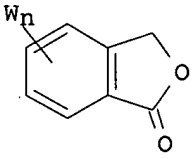
GI



I



II



III

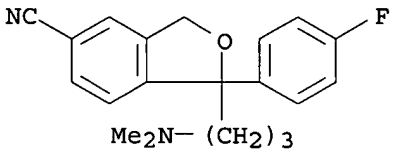
AB A **process** for the **preparation** of 1-(4'-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (I), or a pharmaceutically acceptable salt thereof, comprising performing two successive **Grignard** reactions on 5-bromophthalide, wherein the 5-bromophthalide is reacted with the first **Grignard** reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields. Also claimed is a **process** for the **preparation** of aryl ketone II [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl, optionally containing one heteroatom; W = haloge, CN, OH, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl; n = 0 - 4] which comprises the step of reacting a phthalide III with a **Grignard** reagent, R1MgY (Y = halogen) and is characetrized in that the phthalide is reacted with a Lewis acid to form an adduct prior to reaction with the **Grignard** reagent. Thus,.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2002:286699 CAPLUS
DOCUMENT NUMBER: 136:309841
TITLE: **Process** for **preparing** citalopram and intermediates therefor
INVENTOR(S): Gao, Wei-Guo; Ikemoto, Tetsuya; Iki, Masami
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002114770	A2	20020416	JP 2000-302690	20001002

PRIORITY APPLN. INFO.: JP 2000-302690 20001002
OTHER SOURCE(S): CASREACT 136:309841; MARPAT 136:309841
IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**process** for **preparing** citalopram and intermediates therefor)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



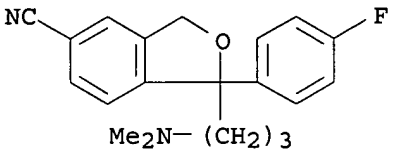
AB Citalopram, a known antidepressant, was **prepared** in a multistep **process.** Thus, 6-carboxy-3-(4'-fluorophenyl)phthalide was converted in 3 steps to 6-cyano-3-(4'-fluorophenyl)phthalide (I). I was converted to 5-cyano-2-(4'-fluorobenzoyl)benzoic acid (II); reaction of II with 3-(dimethylamino)propylmagnesium chloride, followed by reduction, gave citalopram.

L12 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 5
ACCESSION NUMBER: 2002:465993 CAPLUS
DOCUMENT NUMBER: 137:47102
TITLE: A **process** for the **preparation** of citalopram
INVENTOR(S): Guazzi, Giuseppe
PATENT ASSIGNEE(S): C.D. Farmasint S.r.l., Italy
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048133	A2	20020620	WO 2001-EP14523	20011211
WO 2002048133	A3	20011114		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002029648	A5	20020624	AU 2002-29648	20011211

PRIORITY APPLN. INFO.: IT 2000-MI2674 A 20001212
WO 2001-EP14523 W 20011211

OTHER SOURCE(S): CASREACT 137:47102; MARPAT 137:47102
IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(a **process** for the **preparation** of citalopram)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A **process** for the **preparation** of citalopram I, a well known antidepressant, comprising the transformation of II [R = alkyl]. Compound II is reacted in sequence with a Grignard reagent of 4-fluorophenyl halide and a Grignard reagent of a 3-halo-N,N-dimethylpropylamine, resp., giving a compound III. Compound III is hydrolyzed to a compound IV, which is converted to a 5-oxime V by means of **hydroxylamine**, submitted to cyclization and converted to the corresponding 5-cyano derivative, i.e. citalopram I.

L12 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:849646 CAPLUS
DOCUMENT NUMBER: 137:353043
TITLE: **Preparation** of azabicyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene as 5-HT1A antagonists
INVENTOR(S): Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088145	A1	20021107	WO 2002-US13114	20020425

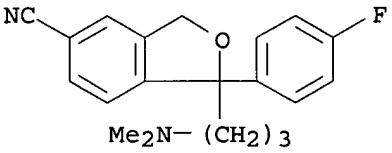
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002183336 A1 20021205 US 2002-131917 20020425
US 6780860 B2 20040824
PRIORITY APPLN. INFO.: US 2001-286818P P 20010426
OTHER SOURCE(S): MARPAT 137:353043

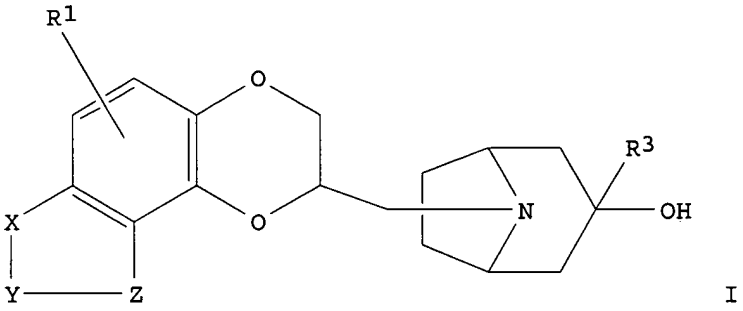
IT **59729-33-8**, Citalopram
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-administration for antidepressant effect; **preparation** of azabicyclooctanol benzodioxan derivs. as 5-HT1A antagonists for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)

RN 59729-33-8 CAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



GI



I

AB Azabicyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene [I; wherein X-Y-Z = N:C(R2)-O, N:C(R2)-NH, NH-C(R2):CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, halo, CF3, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.] were **prepared** For example, (8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-ylmethyl 4-methylbenzenesulfonate (synthetic **preparation** given) was reacted with 3-phenyl-8-azabicyclo[3.2.1]octan-3-ol to give 8-{[2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl]methyl}-3-phenyl-8-azabicyclo[3.2.1]octanol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

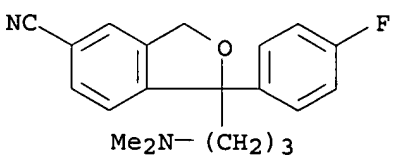
L12 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:241329 CAPLUS
DOCUMENT NUMBER: 136:284433
TITLE: Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation
INVENTOR(S): Wilson, Leland F.; Doherty, Paul C.; Place, Virgil A.; Smith, William L.; Abdel-Hamid, Abdou Ali Ibrahim Aboubakr
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 467,094.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002037828	A1	20020328	US 2001-888250	20010621
US 6403597	B2	20020611		
US 6037346	A	20000314	US 1998-181070	19981027
US 6548490	B1	20030415	US 1999-467094	19991210
WO 2003000343	A2	20030103	WO 2002-US9415	20020325
WO 2003000343	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1418896	A2	20040519	EP 2002-717729	20020325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:

US 1997-958816	B2 19971028
US 1998-181070	A2 19981027
US 1999-467094	A2 19991210
US 2001-888250	A 20010621
WO 2002-US9415	W 20020325

IT **59729-33-8**, Citalopram
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(administration of phosphodiesterase inhibitors for treatment of premature ejaculation)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

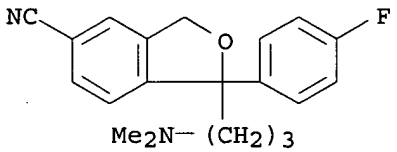


AB A **method** is provided for treatment of premature ejaculation by administration of a phosphodiesterase inhibitor, e.g., an inhibitor of a Type III, Type IV, or Type V phosphodiesterase. In a preferred embodiment, administration is on as "as needed" basis, i.e., the drug is administered immediately or several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided. Zaprinast 1.0, mannitol 1.0, microcryst. cellulose 2.0, and magnesium stearate 10 mg are blended in a suitable mixer and then compressed into sublingual tablets. Each sublingual tablet contains 10 mg zaprinast.

L12 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 6
ACCESSION NUMBER: 2001:270419 CAPLUS
DOCUMENT NUMBER: 134:280701
TITLE: **Preparation** of 5-cyanophthalide and its intermediates, 5-halogenomethylphthalide and **5-formylphthalide** using no toxic substances
INVENTOR(S): Ikemoto, Tetsuya; Kobori, Kazuhiro; Iki, Seimi
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001106681	A2	20010417	JP 1999-287313	19991007

PRIORITY APPLN. INFO.: JP 1999-287313 19991007
OTHER SOURCE(S): CASREACT 134:280701; MARPAT 134:280701
IT **59729-33-8P**, Citalopram
RL: PNU (Preparation, unclassified); PREP (Preparation)
(**preparation** of 5-cyanophthalide as intermediate for citalopram)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



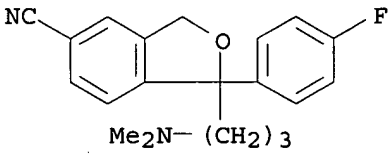
AB 5-Cyanophthalide, useful as an intermediate for citalopram (antidepressant), is **prepared** by dihalogenation of 2,4-dimethylbenzoic acid or its lower alkyl esters, cyclization, formylation of the resulting 5-chloro- or 5-bromomethylphthalide, and cyanation. Thus, formylation of 5-bromomethylphthalide with hexamethylenetetramine and H2O in 80% AcOH under reflux for 2 h gave 81% **5-formylphthalide**, which was treated with NH2OH.HCl in the presence of Et3N at 65° for 1 h in MePh and further treated with Ac2O at 120-125° for 3 h to afford 69% 5-cyanophthalide.

L12 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 2001:289970 CAPLUS
DOCUMENT NUMBER: 134:311097
TITLE: **Preparation** of phthalans, their intermediates, and citalopram

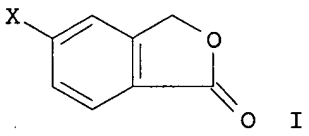
INVENTOR(S): Ikemoto, Tetsuya; Kobori, Kazuhiro; Iki, Masaki
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001114773	A2	20010424	JP 1999-292076	19991014

PRIORITY APPLN. INFO.: JP 1999-292076 19991014
OTHER SOURCE(S): CASREACT 134:311097; MARPAT 134:311097
IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** citalopram from phthalides via isobenzofurancarbaldehyde)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



GI



AB Citalopram is **prepared** from phthalides I (X = protected formyl group) via 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbaldehyde (II). 5-(1,3-Dioxolan-2-yl)phthalide was reacted with reagent containing 1-bromo-4-fluorobenzene and Mg in THF at room temperature for 2 h, reacted with reagent containing 3-(dimethylamino)propyl chloride and Mg at room temperature for 18 h, and treated with H3PO4 at 80° for 2 h to give 65% II, which was reacted with **hydroxylamine** hydrochloride in the presence of Et3N in acetonitrile at room temperature for 15 h to give 89% citalopram.

L12 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 8
ACCESSION NUMBER: 2001:615524 CAPLUS
DOCUMENT NUMBER: 135:195492
TITLE: **Preparation** of intermediates for the production of citalopram
INVENTOR(S): Ikemoto, Tetsuya; Gao, Wei-guo; Arai, Nobuhiro; Igi, Masami
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1125907	A2	20010822	EP 2000-119222	20000906
EP 1125907	A3	20020502		
EP 1125907	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6433196	B1	20020813	US 2000-654768	20000905
EP 1428813	A1	20040616	EP 2004-6759	20000906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 271025	E	20040715	AT 2000-119222	20000906
AU 777193	B2	20041007	AU 2000-56560	20000907
CA 2318701	AA	20010817	CA 2000-2318701	20000913
JP 2002121189	A2	20020423	JP 2000-285077	20000920
US 2002062040	A1	20020523	US 2001-996134	20011128
US 6458975	B2	20021001		
US 2002095051	A1	20020718	US 2002-86076	20020228
US 2004138497	A1	20040715	US 2003-744734	20031223
US 2004230066	A1	20041118	US 2004-867350	20040614

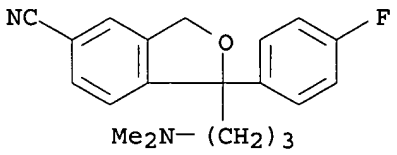
PRIORITY APPLN. INFO.:

JP 2000-39936	A	20000217
JP 2000-65527	A	20000309
JP 2000-245437	A	20000811
US 2000-654768	A3	20000905
EP 2000-119222	A3	20000906
US 2002-86076	A3	20020228

OTHER SOURCE(S): CASREACT 135:195492

IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**preparation** of intermediates for citalopram via Friedel-Crafts reaction of trimellitic anhydride with fluorobenzene or m-xylene with fluorobenzoyl chloride and Grignard addition of fluorophenylmagnesium bromide with dimethylbenzaldehyde)

RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



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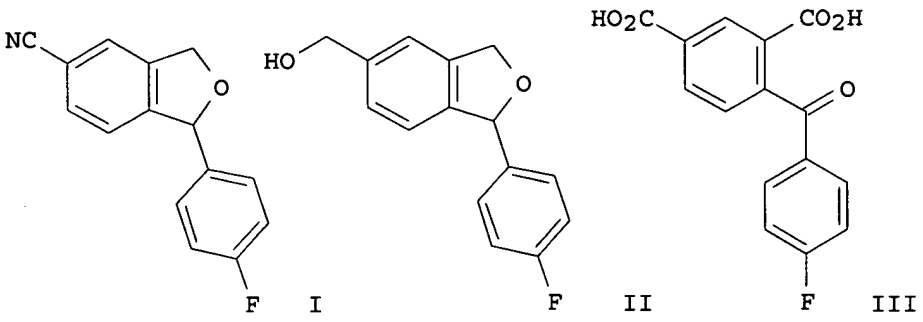

AB Citalopram can be industrially and economically produced at a high yield by reacting a compound of the following formula (I), namely 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile, with 3-(dimethylamino)propyl chloride in the presence of at least one of N,N,N',N'-tetramethylethylenediamine and 1,3-dimethyl-2-imidazolidinone and a condensing agent. The compound of the following formula (II), namely 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-ylmethanol, which is a key compound for the production of citalopram, can be easily produced by subjecting the compound of the following formula (III), namely 4-(4-fluorobenzoyl)isophthalic acid, to reduction and cyclization. Thus, 4-bromofluorobenzene was converted into a Grignard reagent using Mg and iodine which underwent addition reaction with 2,4-dimethylbenzaldehyde in THF at 0-20° to give 100% (2,4-dimethylphenyl)(4-fluorophenyl)methanol (IV). Oxidation of IV with KMnO4 in a mixture of tert-butanol and water at 50° gave 75% III. III was alternatively **prepared** by Friedel-Crafts reaction of trimellitic anhydride with fluorobenzene in the presence of AlCl3 in 1,2-dichlorobenzene at 70-90° for 4 h to give a 7:3 mixture of III and 2-(4-fluorobenzoyl)terephthalic acid in 75% yield. Another route for **preparation** of III involved (1) Friedel-Crafts reaction of m-xylene with 4-fluorobenzoyl chloride in the presence of AlCl3 in 1,2-dichlorobenzene at 10-30° for 1 h and 80° for 1 h to give 85% 1,3-dimethyl-4-(4-fluorobenzoyl)benzene or (2) Friedel-Crafts reaction of fluorobenzene with 2,4-dimethylbenzoyl chloride , followed by oxidation with KMnO4 in tert-Bu alc. at 65°. Heating III with NaBH4 in THF at 55°, followed by adding dropwise di-Me sulfate at 55-65°, refluxing the resulting mixture for 5 h, hydrolyzing the resulting mixture with water in an ice bath, evaporation g the solvent, stirring the residue in 85% phosphoric acid at 60° for 5 h, and treatment with water to give 86% II. Oxidation of II with MnO2 in xylene at 25-45°, oximation with **hydroxylamine** hydrochloride and Et3N at 70-75° for 1 h, and then acetylation with Ac2O at 130-140° for 6 h, followed by treatment with water and 10% aqueous NaOH gave 73% I which was treated with NaH in THF at 40-50°, treated with Bu4NBr and a solution of 3-(dimethylamino)propyl chloride in tert-Bu Me ether, stirred for 10 min, treated with 1,3-dimethyl-2-imidazolidinone, and stirred at 61-64° for 6 h to give 79.1% citalopram.

L12 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 9
ACCESSION NUMBER: 2001:31487 CAPLUS
DOCUMENT NUMBER: 134:102526
TITLE: **Process** for the **synthesis** of citalopram
INVENTOR(S): Bolzonella, Eva; Castellin, Andrea; Nicole, Andrea
PATENT ASSIGNEE(S): Vis Farmaceutici S.p.A., Italy

SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002383	A2	20010111	WO 2000-EP6426	20000706
WO 2001002383	A3	20010503		
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CA 2383963	AA	20020117	CA 2001-2383963	20010706
WO 2002004435	A1	20020117	WO 2001-DK481	20010706
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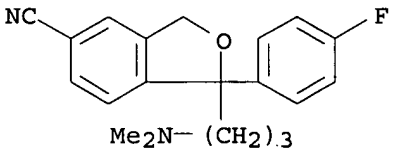
NO 2002001118	A	20020424	NO 2002-1118	20020306
US 2002128497	A1	20020912	US 2002-96149	20020306

PRIORITY APPLN. INFO.: IT 1999-MI1486 A 19990706
WO 2000-EP6426 A 20000706
WO 2001-DK481 W 20010706

IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); PREP (Preparation)
(**process** for **synthesis** of citalopram)

RN 59729-33-8 CAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB A new **process** is described for the **synthesis** of citalopram characterized by the conversion of 1-(4'-fluorophenyl)1-3-(dimethylaminopropyl)-5-halophthalane in the corresponding Grignard reagent; this intermediate product may be converted into citalopram via intermediate formation of an aldehyde and in the subsequent transformation of the functional group via **oxime** or hydrazone; or else be

converted into citalopram via reaction with compds. containing a cyano group bound to a leaving group. The **process** described **makes** it possible to obtain citalopram in high yields, and does not involve the use of drastic conditions of temperature

L12 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:693306 CAPLUS
DOCUMENT NUMBER: 135:257143
TITLE: **Preparation** of 5-cyano-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran
INVENTOR(S): Petersen, Hans
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001068632	A1	20010920	WO 2001-DK186		20010316
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CH 692148	A	20020228	CH 2001-86620		20010316
DE 10190485	T	20020321	DE 2001-10190485		20010316
TR 200202168	T2	20021223	TR 2002-200202168		20010316
EP 1274699	A1	20030115	EP 2001-916932		20010316
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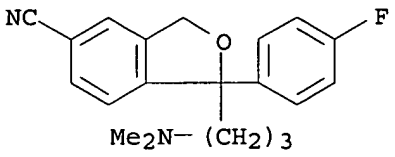
ZA 2002006802	A	20031126	ZA 2002-6802		20020826
US 2003060640	A1	20030327	US 2002-233132		20020830
BG 107049	A	20030530	BG 2002-107049		20020902
NO 2002004197	A	20020903	NO 2002-4197		20020903
PRIORITY APPLN. INFO.:			DK 2000-437	A	20000316
			WO 2001-DK186	W	20010316

IT **59729-33-8P**, Citalopram
RL: SPN (Synthetic preparation); PREP (Preparation)
(**method** for **preparation** of cyanofluorophenyldihydroisobenzofuran as precursor for citalopram)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



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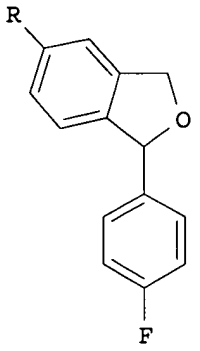

I

AB The present invention relates a **method** for the **preparation** of 5-cyano-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran, comprising the conversion of a 5-substituted 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran derivs. I (R = CF3(CF2)nSO2O (n = 0-8), OH, CHO, CH2OH, CH2NH2, CH2NO2, CH2Cl, CH2Br, CH3, COOH). 5-Cyano-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran is an intermediate used for the **preparation** of the antidepressant drug citalopram. No data or synthetic procedure is given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:550141 CAPLUS
DOCUMENT NUMBER: 137:78852
TITLE: **Preparation** of citalopram from 5-carboxyphthalide and **Grignard** derivatives of 4-halofluorobenzenes and 3-dimethylaminopropyl halides.
INVENTOR(S): Dancer, Robert; Petersen, Hans; Ahmadian, Haleh
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: Patentschrift (Switz.), 11 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 691968	A	20011215	CH 2001-1521	20010816

FI	2001001621	A	20020219	FI 2001-1621	20010809
FI	2001001622	A	20020219	FI 2001-1622	20010809
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IT	2001MI1785	A1	20020218	IT 2001-MI1785	20010813
IT	2001MI1786	A1	20020218	IT 2001-MI1786	20010813
GB	2362647	A1	20011128	GB 2001-19733	20010814
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NO	2001003942	A	20020219	NO 2001-3942	20010814
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GB	2365865	A1	20020227	GB 2001-19734	20010814
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US	2002025982	A1	20020228	US 2001-930107	20010814
US	6426422	B2	20020730		
US	2002026062	A1	20020228	US 2001-930110	20010814
US	6509483	B2	20030121		
WO	2002016341	A1	20020228	WO 2001-DK541	20010814
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WO	2002016342	A1	20020228	WO 2001-DK542	20010814
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GR	1004635	B2	20040714		
ZA	2001006683	A	20020805	ZA 2001-6683	20010814
GR	1004074	B2	20021126	GR 2001-100398	20010814
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EP	1309581	A1	20030514	EP 2001-957785	20010814
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NL 1018776	C1	20011024	NL 2001-1018776		20010816
BE 1013443	A6	20020115	BE 2001-548		20010816
FR 2813077	A1	20020222	FR 2001-10855		20010816
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ES 2170734	A1	20020801	ES 2001-1919		20010817
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BG 107584	A	20040130	BG 2003-107584		20030224

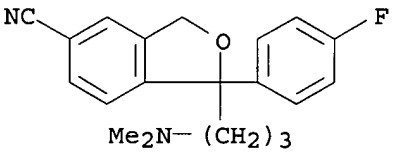
PRIORITY APPLN. INFO.: DK 2000-1231 A 20000818
WO 2001-DK541 W 20010814
WO 2001-DK542 W 20010814

OTHER SOURCE(S): CASREACT 137:78852

IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of citalopram from 5-carboxyphthalide and **Grignard** derivs. of 4-halofluorobenzenes and 3-dimethylaminopropyl halides)

RN 59729-33-8 CAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



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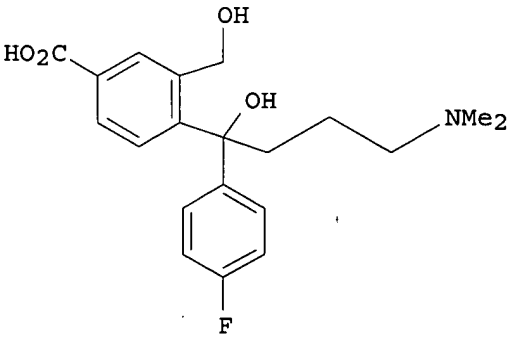

II

AB Citalopram (I) was **prepared** by reaction of 5-carboxyphthalide and **Grignard** derivs. of 4-halofluorobenzenes and 3-dimethylaminopropyl halides to give diol intermediate (II) followed by cyclization of II and conversion of the resulting carboxycitalopram to I. Thus, 5-carboxyphthalide in THF was treated sequentially with tetramethylethylenediamine, p-fluorophenylmagnesium bromide and MgBr2 in THF, and 3-dimethylaminopropylmagnesium bromide in THF/heptane to give 5-carboxycitalopram of >80% purity. The latter was heated with sulfamide and SOCl2 in sulfolane for 2 h at 130° to give citalopram of >97% purity.

L12 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:161091 CAPLUS
DOCUMENT NUMBER: 132:207755
TITLE: **Method** for the **preparation** of citalopram
INVENTOR(S): Rock, Michael Harold; Petersen, Hans; Ellegaard, Peter
PATENT ASSIGNEE(S): H. Lundbeck A/s, Den.
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012044	A2	20000309	WO 1999-DK581	19991025
WO 2000012044	A3	20000803		
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AT 277032	E	20041015	AT 1999-950511		19991025
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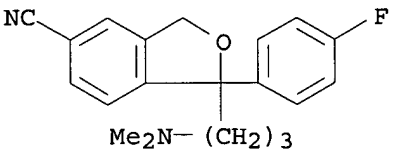
IT 1314243	B1	20021206			
BG 105617	A	20020131	BG 2001-105617		20010618
ZA 2001004971	A	20020304	ZA 2001-4971		20010618
FI 2001001316	A	20010620	FI 2001-1316		20010620
NO 2001003185	A	20010824	NO 2001-3185		20010625
US 2002035277	A1	20020321	US 2001-891874		20010625
US 6407267	B2	20020618			
US 2002177722	A1	20021128	US 2002-138811		20020503
US 6566540	B2	20030520			
CZ 292894	B6	20031217	CZ 2002-2925		20020829
PRIORITY APPLN. INFO.:			CH 2001-1179	A	19991025
			CH 2001-2004	A	19991025
			ES 2001-150056	A	19991025
			DK 1999-920	A	19990625
			EP 1999-950511	A3	19991025
			ES 2001-50056	A	19991025
			JP 2000-571018	A3	19991025
			WO 1999-DK581	W	19991025
			US 2001-891874	A3	20010625

OTHER SOURCE(S): CASREACT 132:207755; MARPAT 132:207755

IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**method** for the **preparation** of citalopram)

RN 59729-33-8 CAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



AB The title **process** comprises condensation-cyclization of 4-FC6H4COZCH2OR (R = alkyl, acyl, alkyl- or arylsulfonyl; Z = 4-cyano-1,2-phenylene) with Me2N(CH2)3MgCl.

L12 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:338079 CAPLUS

DOCUMENT NUMBER: 129:16050

TITLE: **Method** for the **preparation** of citalopram

INVENTOR(S): Petersen, Hans; Bregnedal, Peter; Bogeso, Klaus Peter

PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.

SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9819512	A2	19980514	WO 1997-DK513	19971111

WO 9819512	A3	19980813			

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, GI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9851168	A1	19980529	AU 1998-51168		19971111
AU 738359	B2	20010913			
EP 1042310	A2	20001011	EP 1997-945799		19971111
EP 1042310	B1	20020731			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

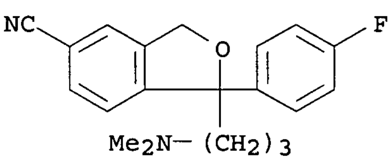
CN 1286687	A	20010307	CN 1997-182416		19971111
NZ 504069	A	20011026	NZ 1997-504069		19971111
AT 221522	E	20020815	AT 1997-945799		19971111
CA 2291072	C	20020820	CA 1997-2291072		19971111
JP 2002530295	T2	20020917	JP 2000-583315		19971111
PT 1042310	T	20021231	PT 1997-945799		19971111
ES 2149734	T3	20030216	ES 1997-945799		19971111
BR 9714925	A	20030722	BR 1997-14925		19971111
CZ 292911	B6	20040114	CZ 2000-1736		19971111
SK 283907	B6	20040406	SK 2000-682		19971111
ZA 9810058	A	19990505	ZA 1998-10058		19981103
NO 2000002077	A	20000510	NO 2000-2077		20000419
US 6258842	B1	20010710	US 2000-564365		20000428
BG 104486	A	20010131	BG 2000-104486		20000529
PRIORITY APPLN. INFO.:			EP 1997-945799	A	19971111
			WO 1997-DK513	A	19971111

OTHER SOURCE(S): CASREACT 129:16050; MARPAT 129:16050

IT **59729-33-8P**, Citalopram
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**method** for the **preparation** of citalopram)

RN 59729-33-8 CAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

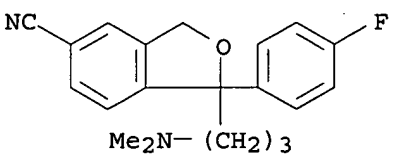
AB Citalopram I, well known antidepressant (no data), was **prepared** by reaction of a compound II [R1 = H, C1-6 alkylcarbonyl] with a **Grignard** reagent of 4-halo-fluorobenzene followed by reacting the resulting compound III with a **Grignard** reagent of 3-halo-N,N-dimethylpropylamine, ring closure of compound IV, and converting the resulting 1,3-dihydroisobenzofuran V into citalopram.

L12 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:442506 CAPLUS
DOCUMENT NUMBER: 105:42506
TITLE: Intermediate in the **preparation** of 1-(3-dimethylaminopropyl)-1-(4'-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile
INVENTOR(S): Bogeso, Klaus Peter
PATENT ASSIGNEE(S): Lundbeck, H., og Co. A/S, Den.
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 171943	A1	19860219	EP 1985-305168	19850719
EP 171943	B1	19881117		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 75690	A1	19881031	IL 1985-75690	19850701
ZA 8505026	A	19860625	ZA 1985-5026	19850703
AT 38661	E	19881215	AT 1985-305168	19850719
FI 8502902	A	19860207	FI 1985-2902	19850725
FI 81338	B	19900629		
FI 81338	C	19901010		
US 4650884	A	19870317	US 1985-761774	19850802
DK 8503562	A	19860207	DK 1985-3562	19850805
DK 172450	B1	19980810		
NO 8503091	A	19860207	NO 1985-3091	19850805
NO 160364	B	19890102		
NO 160364	C	19890412		
AU 8545776	A1	19860213	AU 1985-45776	19850805
AU 574819	B2	19880714		
ES 545885	A1	19860401	ES 1985-545885	19850805
CA 1237147	A1	19880524	CA 1985-488091	19850805
JP 61087654	A2	19860506	JP 1985-171937	19850806
JP 06025099	B4	19940406		
DK 9500895	A	19950810	DK 1995-895	19950810
DK 172713	B1	19990614		
FI 2003001369	A	20030923	FI 2003-1369	20030923

PRIORITY APPLN. INFO.: GB 1984-19963 A 19840806
EP 1985-305168 A 19850719

IT **59729-33-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of, hydroxybutylhydroxymethylbenzonitrile derivative as intermediate for)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



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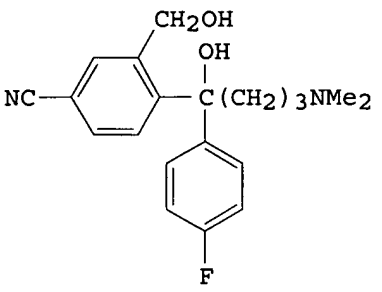
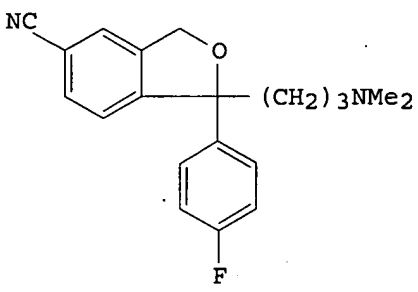


I



II

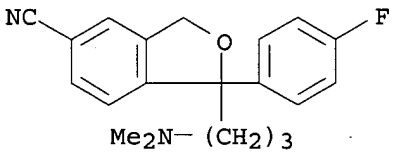
AB Fluorophenylhydroxybutylbenzonitrile derivative I was **prepared** as an intermediate for the title compound (II), a known antidepressant. Thus, 594 g 4-FC6H4Br in THF was converted to the **Grignard** reagent and added to 450 g 5-cyanophthalide, in THF over 3 h at 0-3°. The mixture was stirred overnight at room temperature, and a THF solution of Me2N(CH2)3MgCl [from 342 g Me2N(CH2)3Cl] was added over 6 h at 10-12°. The mixture was stirred overnight and worked up to give a PhMe solution of I, from which 425 g I HBr was isolated. Alternatively, treatment of the I solution with 70% H2SO4 at 80° for 3 h gave, after workup, acidification, and 3 recrystns., 470-480 g II HBr.

L12 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:535040 CAPLUS
DOCUMENT NUMBER: 87:135040
TITLE: Phthalan derivatives
INVENTOR(S): Boegesoe, Klaus Peter; Toft, Anders Stausboell
PATENT ASSIGNEE(S): Kefalas A/S, Den.
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2657013	A1	19770728	DE 1976-2657013	19761216
DE 2657013	C2	19851114		
SE 7614201	A	19770715	SE 1976-14201	19761217
SE 429551	B	19830912		
SE 429551	C	19831222		
AT 7609472	A	19800415	AT 1976-9472	19761221
AT 359488	B	19801110		
AU 7721073	A1	19780713	AU 1977-21073	19770105
AU 509445	B2	19800515		
US 4136193	A	19790123	US 1977-757619	19770107
FI 7700073	A	19770715	FI 1977-73	19770111
FI 63754	B	19830429		
FI 63754	C	19830810		
NL 7700244	A	19770718	NL 1977-244	19770112
NL 192451	B	19970401		
NL 192451	C	19970804		
NO 7700109	A	19770715	NO 1977-109	19770113
NO 147243	B	19821122		

NO 147243	C	19830302			
JP 52105162	A2	19770903	JP 1977-1997		19770113
JP 61035986	B4	19860815			
CA 1094087	A1	19810120	CA 1977-269610		19770113
CH 626886	A	19811215	CH 1977-423		19770113
BE 850401	A1	19770714	BE 1977-174098		19770114
DK 7700131	A	19770715	DK 1977-131		19770114
DK 143275	B	19810803			
DK 143275	C	19820118			
FR 2338271	A1	19770812	FR 1977-1079		19770114
FR 2338271	B1	19821105			
AT 7905719	A	19800515	AT 1979-5719		19790827
AT 360001	B	19801210			
AT 7905720	A	19800515	AT 1979-5720		19790827
AT 360002	B	19801210			
CH 632258	A	19820930	CH 1981-3574		19810601
CH 632259	A	19820930	CH 1981-3575		19810601
PRIORITY APPLN. INFO.:			GB 1976-1486	A	19760114
			AT 1976-9472	A	19761221
			CH 1977-423	A	19770113

IT **59729-33-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(**preparation** and antidepressant activity of)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



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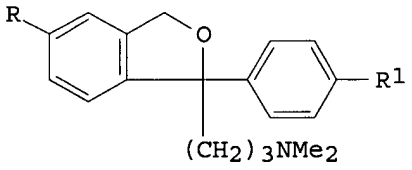
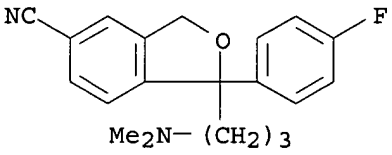


I

AB Phthalans I (R = Cl, Br, CF3, F, CN, COEt; R1 = Cl, F, Br, CN) were **prepared** Thus, 5-bromophthalide was treated with 4-ClC6H4MgBr, 4,2-Br(HOCH2)C6H3COC6H4Cl-4 treated with Me2N(CH2)3MgCl, and 4,2-Br(HOCH2)C6H3C(OH)(C6H4Cl-4)(CH2)3NMe2 cyclized with H3PO4 to give I (R = Br, R1 = Cl), which had ED50 in the tryptophan potentiation test of 4.6 mg/kg i.p.

L12 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:561413 CAPLUS
DOCUMENT NUMBER: 87:161413
TITLE: Quantitative structure-activity relationships in a

series of selective 5-HT uptake inhibitors
AUTHOR(S): Bigler, Allan J.; Boegesoe, Klaus P.; Toft, Anders; Hansen, Villy
CORPORATE SOURCE: Dep. Synth. Chem., H. Lundbeck and Co. A/S, Copenhagen-Valby, Den.
SOURCE: European Journal of Medicinal Chemistry (1977), 12(3), 289-95
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:161413
IT **59729-33-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** and hydroxytryptamine inhibition by)
RN 59729-33-8 CAPLUS
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



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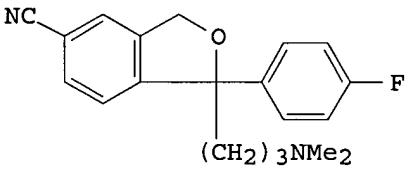

HBr I

AB Fifty-five 1-[3-(methylamino)propyl]- and 1-[3-(dimethylamino)propyl]-1-phenylphthalan derivs. were **prepared** and tested in vitro for inhibition of 5-hydroxytryptamine [50-67-9] uptake in blood platelets and in vivo for potentiation of 5-HTP syndrome in mice. Quant. structure-activity relations were established, using the **methods** of Free-Wilson and Hansch. Of several potent compds., Citalopram (I) [**59729-33-8**] was the most active.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	133.99	144.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.60	-14.60

STN INTERNATIONAL LOGOFF AT 13:47:25 ON 10 JAN 2005